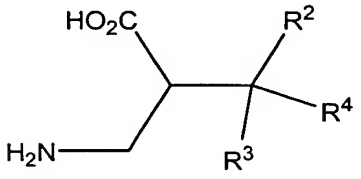
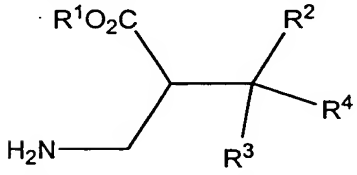
CLAIMS

1. Process for the preparation of an enantiomerically enriched β^2-amino acid of formula 1



(1)

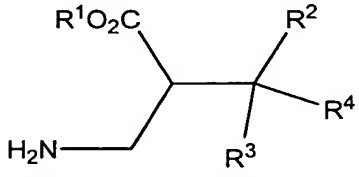
wherein R^2, R^3 and R^4 each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR^5, CO_2R^6, $C(O)R^7$, SR^8, NR^9R^{10}, $OC(O)R^{11}$ wherein R^5, R^6, R^7, R^8, R^9, R^{10} and R^{11} each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and wherein R^2 and R^3, R^2 and R^4 or R^3 and R^4 may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a β^2-amino acid ester of formula 2



(2)

wherein R^1 stands for an optionally substituted alkyl and wherein R^2 , R^3 and R^4 are as defined above and collecting the resulting enantiomerically enriched β^2-amino acid of formula 1.

2. Process for the preparation of an enantiomerically enriched β^2-amino acid ester of formula 2



(2)

wherein R^1 stands for an optionally substituted alkyl and wherein R^2, R^3 and R^4 each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR^5, CO_2R^6, $C(O)R^7$, SR^8, NR^9R^{10}, $OC(O)R^{11}$ wherein R^5, R^6, R^7, R^8, R^9 R^{10} and R^{11} each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and

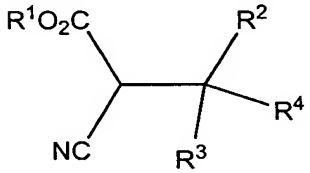
wherein R^2 and R^3, R^2 and R^4 or R^3 and R^4 may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a β^2-amino acid ester of formula 2, wherein R^1, R^2 , R^3 and R^4 are as defined above and collecting the remaining enantiomerically enriched β^2-amino acid ester of formula 2.

3. Process according to claim 1 or claim 2, wherein the stereoselective hydrolytic enzyme is an enzyme from the enzyme classification group EC 3.1.1, 3.4.21, 3.4.22 or 3.4.23.

4. Process according to any one of claims 1-3, wherein the stereoselective hydrolytic enzyme has an E-ratio > 5.

5. Process according to any one of claims 2-4, wherein the collected remaining enantiomerically enriched β^2-amino acid ester is further hydrolysed in a manner known per se.

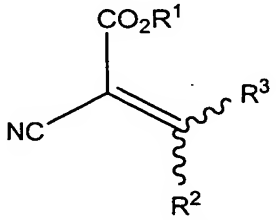
6. Process according to any one of claims 1-5, wherein the β^2-amino acid ester of formula 2 is prepared by reduction of the corresponding nitrile of formula 3



(3)

wherein R^1, R^2, R^3 and R^4 are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

7. Process according to claim 6, wherein the nitrile of formula 3, wherein R^1, R^2 and R^3 are as defined above and wherein R^4 stands for H is prepared by reduction of the corresponding nitrile of formula 4,



(4)

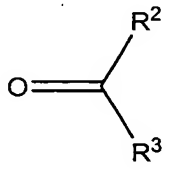
wherein R^1, R^2 and R^3 are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

8. Process according to any one of claims 1-5, wherein the β^2-amino acid ester of formula 2, wherein R^4 stands for H and R^1, R^2 and R^3 are as defined above

is prepared by reduction of the corresponding nitrile of formula 4, wherein R^1, R^2 and R^3 are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

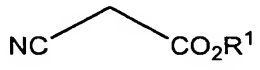
9. Process according to claim 6, wherein the nitrile of formula 3, wherein R^1, R^2, R^3 and R^4 are as defined in claim 6 is prepared from the corresponding nitrile of formula 4, wherein R^1, R^2 and R^3 are as defined above by introduction of R^4 via nucleophilic 1,4-addition using a suitable nucleophile.

10. Process according to any one of claims 7-9, wherein the nitrile of formula 4, wherein R^1, R^2 and R^3 are as defined above is prepared by condensation of a ketone or aldehyde of formula 6



(6)

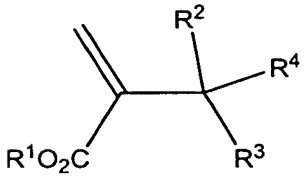
wherein R^2 and R^3 are as defined above and a nitrile of formula 7



(7)

wherein R^1 is as defined above, in the presence of a suitable base or a dehydrating reagent.

11. Process according to any one of claims 1-5, wherein the β^2-amino acid ester of formula 2, wherein R^1, R^2, R^3 and R^4 are as defined in anyone of claims 1-5 is prepared by reacting NH_3 or an NH_3-analogue with the 2-substituted acrylic acid ester of formula 5



(5)

wherein R^1, R^2, R^3 and R^4 are as defined above.

12. Process according to any one of claims 1-11, wherein the enantiomerically enriched β^2-amino acid (ester) prepared according to a process of any one of claims 1-11 is further converted into a pharmaceutically active ingredient.

13. Process according to claim 12, wherein the pharmaceutically active ingredient is formulated into a pharmaceutical composition comprising the pharmaceutically active ingredient and an excipient.